

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
20 September 2001 (20.09.2001)

PCT

(10) International Publication Number  
**WO 01/68673 A1**

(51) International Patent Classification<sup>7</sup>: **C07K 2/00**

(21) International Application Number: PCT/US01/08111

(22) International Filing Date: 13 March 2001 (13.03.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/189,190 14 March 2000 (14.03.2000) US  
60/250,334 30 November 2000 (30.11.2000) US

(71) Applicant (for all designated States except US): **ACTIVE MOTIF** [US/US]; 5431-C Avenida Encinas, Carlsbad, AK 92008 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **EFIMOV, Vladimir** [RU/RU]; Shemyakin & Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, u. Miklukho-Maklaya 16/10, 117871 Moscow (RU). **FERNANDEZ, Joseph** [US/US]; Active Motif, 5431-C Avenida Encinas, Carlsbad, CA 92008 (US). **ARCHDEACON, Dorothy** [IE/US]; Active Motif, 5431-C Avenida Encinas, Carlsbad, CA 92008 (US). **ARCHDEACON, John** [IE/US]; Active Motif, 5431-C Avenida Encinas, Carlsbad, CA 92008 (US). **CHAKHMAKHCHAU, Oksana** [RU/RU]; Shemyakin & Ovchinnikov Institute of Bioorganic Chemistry, Russian Academy of Sciences, ul. Miklukho-Maklaya 16/10, 117871 Moscow (RU). **BURYAKOVA, Alla** [RU/RU]; Shemyakin & Ovchinnikov Institute of Bioorganic Chemistry, Russian

Academy of Sciences, ul. Miklukho-Maklaya 16/10, 117871 Moscow (RU). **CHOOB, Mikhail** [RU/US]; Active Motif, 5431-C Avenida Encinas, Carlsbad, CA 92008 (US). **HONDORP, Kyle** [US/US]; Active Motif, 5431-C Avenida Encinas, Carlsbad, CA 92008 (US).

(74) Agents: **PRESTON, David**; David R. Preston & Associates, 11404 Sorrento Valley Road, Suite 104, San Diego, CA 92121 et al. (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 01/68673 A1

(54) Title: OLIGONUCLEOTIDE ANALOGUES, METHODS OF SYNTHESIS AND METHODS OF USE

(57) Abstract: The present invention relates generally to oligonucleotide analogues that include novel protein nucleic acid molecules (PNAs), particularly monomers, dimers, oligomers thereof and methods of making and using these oligonucleotide analogues. The PNAs of the present invention are characterized as including a variety of classes of molecules, such as, for example, hydroxyproline peptide nucleic acids (HypNA) and serine peptide nucleic acids (SerNA). The invention includes monomers, homodimers, heterodimers, homopolymers and heteropolymers of these and other oligonucleotide analogues. The present invention includes method of using these oligonucleotide analogues in the detection and separating of nucleic acid molecules, including uses that include the utilization of oligonucleotide analogues on a solid support. The present invention also includes methods for purifying or separating nucleic acids by hybridization with the oligonucleotides of the present invention, such as mRNA molecules. The present invention also includes the use of oligonucleotides of the present invention in antisense and homologous recombination constructs and methods.

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US01/08111

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) :C07K 2/00  
US CL :514/2

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/2, 45, 49; 530/323, 332; 536/23.1, 24.3; 435/006

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

WEST, CAS Online

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|-----------|--|-----------------------|
| A         | US 5,977,296 A (NIELSEN et al) 02 November 1999(02.11.99), see entire document.    | 1-96                  |
| A         | US 6,015,887 A (TENG) 18 January 2000(18.01.00), see entire document.              | 1-96                  |

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

|   |  |
|---|--|
| * Special categories of cited documents:  | * later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention  |
| *A* document defining the general state of the art which is not considered to be of particular relevance  | *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone   |
| *B* earlier document published on or after the international filing date  | *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art |
| *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) | *Z* document member of the same patent family  |
| *O* document referring to an oral disclosure, use, exhibition or other means  |  |
| *P* document published prior to the international filing date but later than the priority date claimed  |  |

Date of the actual completion of the international search

14 JUNE 2001

Date of mailing of the international search report

09 JUL 2001

Name and mailing address of the ISA/US  
Commissioner of Patents and Trademarks  
Box PCT  
Washington, D.C. 20231

Facsimile No. (703) 305-3230

Authorized officer

DAVID LUKTON

Telephone No. (703) 308-0196

TERRY J. DEY  
PARALEGAL SPECIALIST  
TECHNOLOGY CENTER 1600